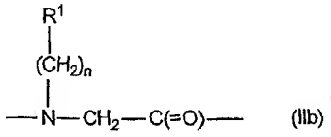
of hydrogen and C_{1-4}-alkyl; or,

R^1 and R^2 together with the carbon atom to which they are bound form an optionally substituted cyclopentyl, cyclohexyl, cycloheptyl or decahydronaphthalenyl ring;

and

N-substituted amino acids of the general formula IIb

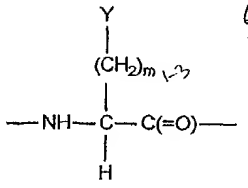


(IIb)

wherein n and R^1 are as defined above;

X^3 and X^8 are each independently selected from the group consisting of amino acids having hydrophobic side chains and amino acids having hydrophobic N-substituents;

X^4 is selected from the group consisting of amino acids of the general formula IIIa



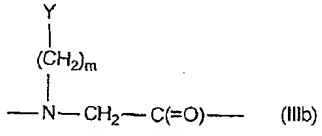
(IIIa)

not conservative substitution

wherein m is an integer in the range from 1 to 3, and Y is selected from the group consisting of OH, SH, NH_2, $CONH_2$, COOH and OPO_3H;

and

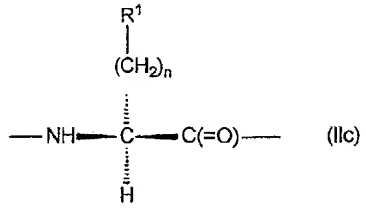
N-substituted amino acids of the general formula IIIb



(IIIb)

wherein m and Y are as defined above.

60 (new). A peptide according to claim 59, wherein X^2 is selected from L-amino acids of the general formula IIc



(IIc)

wherein n is 1 or 2 and R^1 is selected from the group consisting of optionally substituted five-, six- and seven-membered non-aromatic rings.

61 (new). A peptide according to claim 59, wherein X^3 and X^8 are each independently selected from the group consisting of D- and L-phenylalanine, D- and L-tryptophan, D- and L-tyrosine, D- and L-histidine, β-2-naphthyl-L-alanine, β-2-naphthyl-D-alanine, β-1-naphthyl-L-alanine, β-1-naphthyl-D-alanine, N-(2,3-dimethoxybenzyl)glycine, N-(3-indolylethyl)glycine, N-benzylglycine, –(methylnaphthalyl)glycine, N-(2,2-diphenylethyl)glycine, –(indanyl)glycine, N-(2-ethyl-2-pyridinyl)glycine and N-(4-methoxyphenylethyl)glycine.

62 (new). A peptide according to claim 59, wherein the peptide fragment is selected from the group consisting of dChaFsrYLWS, SLChaFsQYLWS, eChaFsyYLWS, DChaFsrYLWS, DChaFSrYLWS, dChaFSrYLWS, tChaFsrYLWS, dChaFsrYL^{2}nAS, DChaFsRYLWS, DChaFsrYL^{1}nAS, eChaFsYYLWS, D-Cha-F-s-r-L-L-W-h, D-Cha-F-s-r-Cha-L-W-l, D-Cha-F-s-r-Y-L-Nal-h, D-Cha-F-s-r-DMB-f-TRA-MEA, D-Cha-F-s-r-DMB-f-Bzl-MEA, D-Cha-F-s-r-DMB-f-AMN-MEA and D-Cha-F-s-r-DMB-f-DMB-l

wherein Cha designates β-cyclohexyl-L-alanine, ^{1}nA designates β-1-naphthyl-L-alanine, ^{2}nA designates β-2-naphthyl-L-alanine, capital letters designate L-amino acids, lower case letters designate D-amino acids, βA designates β-alanine, DMB designates N-(2,3-dimethoxybenzyl)glycine, TRA designates N-(3-indolylethyl)glycine, MEA designates N-(2-methoxyethyl)glycine, Bzl designates N-benzylglycine and AMN designates N-(methylnaphthalyl)glycine.

63 (new). A peptide according to claim 59, which comprises more than one peptide fragment of the general formula I.

64 (new). A peptide according to claim 63, wherein each of the peptide fragments are attached to a common scaffold.

65 (new). A pharmaceutical composition comprising a peptide according to claim 59.

66 (new). A method of treating cancer in a mammal comprising administering an effective amount of a peptide according to claim 59.

67 (new). A method for selecting a peptide antagonist which is suitable for preventing or counteracting localized extracellular proteolytic activity of plasmin in a human by inhibiting the activation of plasminogen to plasmin by inhibiting the binding of a receptor-binding form of uPA to a uPAR in the human, the method comprising

providing a modified uPAR of a non-human mammalian species, said modified uPAR being modified in a manner which renders it capable of being antagonized by a peptide antagonist according to claim 59 while retaining its capability of binding to a receptor-binding form of uPA of said mammalian species substantially unchanged,

in a model system for assessing antagonism of uPA/uPAR binding and comprising said modified uPAR carried by cells of the non-human mammalian species as well as a receptor-binding form of uPA of the species, subjecting a panel of peptides to assessment in the model system and selecting, as peptide antagonists, such peptides among the panel of peptides which, in the model system, result in a degree of antagonism of the binding of the uPA to the modified uPAR which is equal to or not less than the degree of antagonism obtained by using an ATF-fragment of said uPA at a concentration of one-tenth of the concentration of the peptide antagonist.

68 (new). A method according to claim 67, wherein said model system comprises one or more of the following test systems:

1) a screening assay in which the possible inhibition of uPA/uPAR interaction by the peptide antagonist is determined by adding the peptide antagonist to the system comprising the modified uPAR and solubilized uPA, uPA bound to uPAR being detected by being labelled or by means of a labelled anti-uPA antibody, or adding the substance to a system comprising immobilized uPA and solubilized uPAR, uPAR bound to uPA being detected by being labelled or by means of a labelled anti-uPAR antibody,

2) an assay in which the possible inhibition of uPA/uPAR interaction by the substance is determined by adding the substance to a system comprising uPAR and radiolabelled uPA or a derivative thereof, cross-linking any uPAR bound to uPA and detecting any cross-linked product by SDS PAGE and autoradiography,

3) an assay in which the possible inhibition of binding of uPA to uPAR on the surface of cultured cells is determined by adding the substance to a system comprising radiolabelled uPA or a derivative thereof and cells carrying uPAR, and detecting any uPA or derivative binding to uPAR by gamma counting of the cells,